ABSTRACT OF THE DISCLOSURE

The present invention provides methods for the efficient preparation of indole derivatives of the formula

$$R_3$$
 R_4
 R_1
 R_1
 R_1

wherein X is methyl or benzyl; and R_1 , R_2 , R_3 and R_4 are independently hydrogen, halogen, cyano, nitro, hydroxy, optionally substituted alkyl, alkoxy, aralkoxy, carboxy, alkoxycarbonyl, aryl or heteroaryl; or R_1 and R_2 combined together with the carbon atoms to which they are attached form a fused 6-membered aromatic ring; by reacting indoles of the formula

$$R_3$$
 R_4
 R_1
 R_1
(III)

wherein R₁, R₂, R₃ and R₄ have meanings as defined for formula I, with dimethyl carbonate when X is methyl, or with dibenzyl carbonate when X is benzyl, in the presence of a catalytic amount of a base at an ambient temperature to afford compounds of formula I wherein X, R₁, R₂, R₃ and R₄ have meanings as defined herein above. In particular, the present invention provides methylation and benzylation of the indole nitrogen in nearly quantitative yields using 1,4-diazabicyclo[2.2.2]octane as the base in a catalytic amount under mild conditions, wherein the alkylations may be conducted in the absence or the presence of an ionic liquid, under microwave irradiation or utilizing conventional heat, or combinations thereof.